```
     (FILE 'HOME' ENTERED AT 11:26:45 ON 05 FEB 2003)

     FILE 'REGISTRY' ENTERED AT 11:29:24 ON 05 FEB 2003
L1           23 S CETIRIZINE OR FEXOFENADINE OR EBASTINE OR ASTEMIZOLE OR NORAS

     FILE 'CAPLUS, MEDLINE' ENTERED AT 11:31:41 ON 05 FEB 2003
L2          157 S 225367-67-9/RN OR 169048-35-5/RN OR 163837-48-7/RN OR 153439-
L3         1117 S 90729-43-4/RN OR 90518-70-0/RN OR 83881-52-1/RN OR 83881-51-0
L4         2860 S CETIRIZINE OR FEXOFENADINE OR EBASTINE OR ASTEMIZOLE OR NORAS
                E CARDIOVASCULAR DISEASE/CT
                E E3+ALL
                E E5+ALL
L5        39413 S E1-E11
L6            2 S L5 AND L4

     FILE 'BIOSIS, EMBASE, USPATFULL, JAPIO' ENTERED AT 11:38:01 ON 05 FEB 2003
L7           30 S L6
L8           30 S L7 OR L6
L9           30 DUP REM L8 (0 DUPLICATES REMOVED)

     FILE 'REGISTRY' ENTERED AT 11:40:42 ON 05 FEB 2003
L10           1 S DESLORATADINE

     FILE 'CAPLUS, MEDLINE' ENTERED AT 11:41:18 ON 05 FEB 2003
                E ANTIHISTAMINE
                E E3
                E ANTIHISTAMINE/CT
                E E4+ALL
L11           7 S ANTIHISTAMINE AND L5
```

L9 ANSWER 27 OF 30 EMBASE COPYRIGHT 2003 ELSEVIER SCI. B.V.
ACCESSION NUMBER: 93099103 EMBASE
DOCUMENT NUMBER: 1993099103
TITLE: The new H1 antihistamines: Treatment of urticaria and other clinical problems.
AUTHOR: Goldsmith P.; Dowd P.M.
CORPORATE SOURCE: Department of Dermatology, Middlesex Hospital, Mortimer Street,London W1N 8AA, United Kingdom
SOURCE: Dermatologic Clinics, (1993) 11/1 (87-95).
ISSN: 0733-8635 CODEN: DRMCDJ
COUNTRY: United States
DOCUMENT TYPE: Journal; General Review
FILE SEGMENT: 013 Dermatology and Venereology
030 Pharmacology
037 Drug Literature Index
038 Adverse Reactions Titles
LANGUAGE: English
SUMMARY LANGUAGE: English
AB Traditional H1 antihistamines have sedative and in some cases, anticholinergic side effects. A significant advance has been the development of more specific H1 antagonists in which these properties are greatly reduced or apparently absent. Terfenadine and **astemizole** were the first H1 antihistamines. Acrivastine, **cetirizine**, and loratadine are three newer ones. This article describes pharmacokinetics of each of-these new H1 antihistamines and discusses their use in dermatologic practice. The new H1 antihistamines are a major therapeutic advance in the treatment of allergic disorders such as acute and chronic urticaria. Their efficacy in the

9 ANSWER 26 OF 30 EMBASE COPYRIGHT 2003 ELSEVIER SCI. B.V.
ACCESSION NUMBER: 95098059 EMBASE
DOCUMENT NUMBER: 1995098059
TITLE: Second-generation H1-antagonists first-line in the treatment of urticaria.
SOURCE: Drugs and Therapy Perspectives, (1995) 5/6 (5-8).
ISSN: 1172-0360 CODEN: DTHPEE
COUNTRY: New Zealand
DOCUMENT TYPE: Journal; (Short Survey)
FILE SEGMENT: 013 Dermatology and Venereology
030 Pharmacology
037 Drug Literature Index
038 Adverse Reactions Titles
LANGUAGE: English
SUMMARY LANGUAGE: English

AB Second-generation H1-antagonists are the mainstay of treatment in patients with urticaria. These drugs appear to have comparable efficacy to each other in relieving symptoms of urticaria such as erythema, wheals and itching. However, response may vary between individuals so if one drug is ineffective it is worth trying another. The slow onset of action of **astemizole** makes it unsuitable for rapid relief of symptoms. Acrivastine is inconvenient for long-term prophylaxis because of its short duration of action. All of the second-generation H1-antagonists have a lower sedative potential than the older H1-antagonists. Of the newer agents, **cetirizine** appears to have the highest risk of drowsiness.

L9 ANSWER 22 OF 30 EMBASE COPYRIGHT 2003 ELSEVIER SCI. B.V.
ACCESSION NUMBER: 96021242 EMBASE
DOCUMENT NUMBER: 1996021242
TITLE: Risk of selected serious cardiac events among new users of antihistamines.
AUTHOR: Staffa J.A.; Jones J.K.; Gable C.B.; Verspeelt J.P.; Amery W.K.
CORPORATE SOURCE: Degge Group Ltd., 1616 North Myer Drive,Arlington, VA 22209, United States
SOURCE: Clinical Therapeutics, (1995) 17/6 (1062-1077).
ISSN: 0149-2918 CODEN: CLTHDG
COUNTRY: United States
DOCUMENT TYPE: Journal; Article
FILE SEGMENT: 017 Public Health, Social Medicine and Epidemiology
018 Cardiovascular Diseases and Cardiovascular Surgery
030 Pharmacology
037 Drug Literature Index
038 Adverse Reactions Titles
LANGUAGE: English
SUMMARY LANGUAGE: English

AB This retrospective cohort study examined the risk of selected serious cardiac events in new users of either **astemizole** or sedating antihistamines identified from the COMPASS.RTM. Ohio Medicaid population of approximately 1 million active lives per year (1986-1992). (COMPASS is an automated claims database.) There were 15,585 patients in the **astemizole** group and 30,105 in the sedating antihistamines group. Reports of ventricular arrhythmia or sudden death occurring within 30 days of the first antihistamine claim were identified from Medicaid claims. Medical records were obtained and reviewed by a clinician for validity of diagnoses. Records for patients without a full 30 days of follow-up were sought in the National Death Index. Death certificates were obtained for all patients who died within 30 days of the first antihistamine claim. Of 53 cases identified, 6 were in the **astemizole** group and 47 in the sedating antihistamines group. The relative risk for all selected cardiac events among **astemizole** users compared with sedating antihistamine users was 0.25 (95% confidence interval: 0.11 to 0.58), and this estimate did not change substantially when adjusted for age; sex; race; recent history of cardiovascular disease, arrhythmias, asthma/pulmonary disease, or malignant neoplasms; or concomitant prescription of other drugs. This study provided no evidence that **astemizole** users are at increased risk for cardiac events in the first month of use when compared with users of sedating antihistamines.

L9 ANSWER 14 OF 30 EMBASE COPYRIGHT 2003 ELSEVIER SCI. B.V.
ACCESSION NUMBER: 1999175338 EMBASE
TITLE: Comparative tolerability of second generation antihistamines.
AUTHOR: Horak F.; Stubner U.P.
CORPORATE SOURCE: Prof. F. Horak, ENT-Clinic, University of Vienna, AKH, Wahringer Gurtel 18-20, A-1090 Vienna, Austria. friedrich.horak@akh-wien.at.ac
SOURCE: Drug Safety, (1999) 20/5 (385-401).
Refs: 162
ISSN: 0114-5916 CODEN: DRSAEA
COUNTRY: New Zealand
DOCUMENT TYPE: Journal; General Review
FILE SEGMENT: 011 Otorhinolaryngology
026 Immunology, Serology and Transplantation
037 Drug Literature Index
038 Adverse Reactions Titles
LANGUAGE: English
SUMMARY LANGUAGE: English
AB Second generation histamine H1 receptor antagonists, the so-called 'nonsedating' antihistamines, have high potency and additional antiallergic properties as well as H1 antagonism and are associated with fewer adverse effects compared with the first generation antihistamines. A number of drugs in this class are approved for use: acrivastine, **astemizole**, azelastine, **cetirizine**, **ebastine**, **fexofenadine**, loratadine, mizolastine and terfenadine. All of them have a more favourable risk-benefit ratio with regard to the CNS adverse effects. Even those second generation antihistamines that are not actually 'nonsedating' are less impairing than their predecessors, but not one of them is entirely devoid of CNS activity. Under certain circumstances some antihistamines may affect cardiac repolarisation resulting in cardiovascular adverse effects. Serious cardiovascular effects have been reported with terfenadine and **astemizole** when they are used in high dosages or when they are given to 'at risk' patients. Animal models indicate that there might be a potential risk of cardiovascular adverse effects with other antihistamines as well. However, up to now there is no clinical evidence for this assumption, despite some confusing reports. Likewise there has been much discussion about a link between these agents and carcinogenicity. However, there is no evidence that any of the second generation antihistamines increase the risk of tumour growth in humans. Small children, elderly patients and persons with chronic renal or liver impairment are special groups in which the individual adverse effects of the second generation antihistamines must be kept in mind. The dosage for an individual has to be modified with respect to their metabolic situation. Despite the fact that some of the second generation antihistamines are listed in the US Food and Drug Administration pregnancy risk classification as class B, the use of second generation antihistamines should be avoided during pregnancy and they should never be administered to nursing mothers. Taking into account their negligible CNS activity, the low incidence of cardiovascular adverse effects, their lack of anticholinergic effects and other benefits, this class of antiallergic drugs represents a definite advance in therapy.

L9 ANSWER 15 OF 30 EMBASE COPYRIGHT 2003 ELSEVIER SCI. B.V.
ACCESSION NUMBER: 1999214346 EMBASE
TITLE: Cardiac complications in the intensive care unit.
AUTHOR: Francis G.S.
CORPORATE SOURCE: Dr. G.S. Francis, Cardiology Department F-25, Cleveland Clinic Foundation, 9500 Euclid Avenue, Cleveland, OH 44195, United States
SOURCE: Clinics in Chest Medicine, (1999) 20/2 (269-285).

Refs: 97
ISSN: 0272-5231 CODEN: CCHMDA
COUNTRY: United States
DOCUMENT TYPE: Journal; General Review
FILE SEGMENT: 006 Internal Medicine
018 Cardiovascular Diseases and Cardiovascular Surgery
037 Drug Literature Index
038 Adverse Reactions Titles
LANGUAGE: English
SUMMARY LANGUAGE: English

AB Advances in the care of critically ill patients has been startling, especially in patients with acute coronary syndromes. With new therapies and procedures, however, have come new complications. On balance, our patients are better off, but the stakes are now higher and the complications more serious. The need for constant vigilance has never been greater.

L9 ANSWER 16 OF 30 EMBASE COPYRIGHT 2003

L9 ANSWER 3 OF 30 EMBASE COPYRIGHT 2003 ELSEVIER SCI. B.V.
ACCESSION NUMBER: 2002246233 EMBASE
TITLE: Gateways to clinical trials.
AUTHOR: Bayes M.; Rabasseda X.; Prous J.R.
CORPORATE SOURCE: M. Bayes, Prous Science, S.A., P.O. Box 540, 08080 Barcelona, Spain. mbayes@prous.com
SOURCE: Methods and Findings in Experimental and Clinical Pharmacology, (2002) 24/5 (291-327).
Refs: 200
ISSN: 0379-0355 CODEN: MFEPDX
COUNTRY: Spain
DOCUMENT TYPE: Journal; Article
FILE SEGMENT: 030 Pharmacology
037 Drug Literature Index
LANGUAGE: English
SUMMARY LANGUAGE: English

AB Gateways to Clinical Trials is a guide to the most recent clinical trials in current literature and congresses. The data in the following tables has been retrieved from the Clinical Studies knowledge area of Prous Science Integrity, the drug discovery and development portal, http://integrity.prous.com. This issue focuses on the following selection of drugs: Abacavir sulfate, abarelix, abciximab, alicaforsen sodium, almotriptan, alteplase, amlodipine, amoxicillin trihydrate, amprenavir, argatroban monohydrate, aspirin, atorvastatin calcium, azathioprine; Baclofen, benidipine hydrochloride, benserazide, BMS-214662, bosentan, botulinum toxin type B; Candesartan cilexetil, carbamazepine, carbidopa, carboplatin, ceftriaxone sodium, celecoxib, **cetirizine** hydrochloride, clarithromycin, clavulanate potassium, clopidogrel hydrogensulfate, clozapine, CPI-1189, cyclophosphamide, cytarabine; Darbepoetin alfa, denileukin diftitox, dexamethasone, dipyridamole, droperidol, DW-166HC; **Ebastine**, efalizumab, efavirenz, eletriptan, enalapril maleate, enfuvirtide, enoxaparin sodium, enrasentan, entacapone, epoetin, eprosartan mesilate, etanercept, etoricoxib; Fenofibratefexofenadine hydrochloride, filgrastim, fludarabine phosphate, fluoxetine hydrochloride fluvoxamine maleate, frovatriptan, furosemide; Gabapentin, galantamine hydrobromide, gatifloxacin, gefitinib, ghrelin (human), glatiramer acetate; Haloperidol; Ibuprofen, ibuprofen, guaiacol ester, idarubicin hydrochloride, imipramine hydrochloride, imiquimod, interferon beta, interferon beta-1a, interferon beta-1b, interferon omega, irbesartan, itraconazole; Ketorolac, ketorolac tromethamine; Lamifiban, lamotrigine, lanoteplase, lansoprazole, leflunomide, leuprorelin acetate, levetiracetam, levocetirizine, levodopa, lisinopril, loratadine; Manidipine, methylprednisolone, metronidazole, mirtazapine, mizolastine, modafinil, morphine sulfate; Naproxen sodium, naratriptan hydrochloride, nifedipine, NSC-683864; Ofloxacin, olanzapine, omalizumab, omapatrilat, ondansetron hydrochloride, oxcarbazepine; Paclitaxel, parecoxib sodium, paroxetine hydrochloride, phenytoin sodium, pimecrolimus, pramipexole hydrochloride, pravastatin, prednisone, pregabalin; Quetiapine fumarate; Ranitidine hydrochloride, rasburicase, ritonavir, rivastigmine tartrate, rizatriptan benzoate, rofecoxib; Saquinavir mesilate, sertraline, sildenafil citrate, simvastatin, sumatriptan succinate; Tacrolimus, tiagabine hydrochloride, ticlopidine hydrochloride, tirofiban hydrochloride, tolvaptan, topiramate, tretinoin; Valproic acid, valsartan, venlafaxine hydrochloride, verapamil; Warfarin sodium; Ximelagatran; Zanamivir, ziconotide, zolmitriptan, zonisamide.

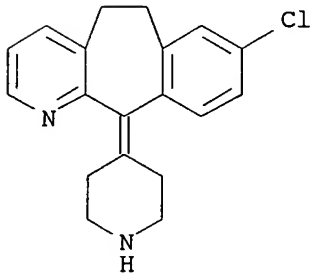
9 ANSWER 14 OF 30 EMBASE COPYRIGHT 2003 ELSEVIER SCI. B.V.
ACCESSION NUMBER: 1999175338 EMBASE
TITLE: Comparative tolerability of second generation antihistamines.
AUTHOR: Horak F.; Stubner U.P.
CORPORATE SOURCE: Prof. F. Horak, ENT-Clinic, University of Vienna, AKH, Wahringer Gurtel 18-20, A-1090 Vienna, Austria. friedrich.horak@akh-wien.at.ac
SOURCE: Drug Safety, (1999) 20/5 (385-401).
Refs: 162
ISSN: 0114-5916 CODEN: DRSAEA
COUNTRY: New Zealand
DOCUMENT TYPE: Journal; General Review
FILE SEGMENT: 011 Otorhinolaryngology
026 Immunology, Serology and Transplantation
037 Drug Literature Index
038 Adverse Reactions Titles
LANGUAGE: English
SUMMARY LANGUAGE: English

AB Second generation histamine H1 receptor antagonists, the so-called 'nonsedating' antihistamines, have high potency and additional antiallergic properties as well as H1 antagonism and are associated with fewer adverse effects compared with the first generation antihistamines. A number of drugs in this class are approved for use: acrivastine, **astemizole**, azelastine, **cetirizine**, **ebastine**, **fexofenadine**, loratadine, mizolastine and terfenadine. All of them have a more favourable risk-benefit ratio with regard to the CNS adverse effects. Even those second generation antihistamines that are not actually 'nonsedating' are less impairing than their predecessors, but not one of them is entirely devoid of CNS activity. Under certain circumstances some antihistamines may affect cardiac repolarisation resulting in cardiovascular adverse effects. Serious cardiovascular effects have been reported with terfenadine and **astemizole** when they are used in high dosages or when they are given to 'at risk' patients. Animal models indicate that there might be a potential risk of cardiovascular adverse effects with other antihistamines as well. However, up to now there is no clinical evidence for this assumption, despite some confusing reports. Likewise there has been much discussion about a link between these agents and carcinogenicity. However, there is no evidence that any of the second generation antihistamines increase the risk of tumour growth in humans. Small children, elderly patients and persons with chronic renal or liver impairment are special groups in which the individual adverse effects of the second generation antihistamines must be kept in mind. The dosage for an individual has to be modified with respect to their metabolic situation. Despite the fact that some of the second generation antihistamines are listed in the US Food and Drug Administration pregnancy risk classification as class B, the use of second generation antihistamines should be avoided during pregnancy and they should never be administered to nursing mothers. Taking into account their negligible CNS activity, the low incidence of cardiovascular adverse effects, their lack of anticholinergic effects and other benefits, this class of antiallergic drugs represents a definite advance in therapy.

ACCESSION NUMBER: 76017291 MEDLINE
DOCUMENT NUMBER: 76017291 PubMed ID: 1099969
TITLE: Effect of ovine hydatid cyst fluid on the cardiovascular and respiratory systems in sheep.
AUTHOR: Tabatabai M; Ismaili M H; Sami M; Fardin R; Kadivar R
SOURCE: ANNALES DE PARASITOLOGIE HUMAINE ET COMPAREE, (1975 Jan-Feb) 50 (1) 7-15.
Journal code: 0376525. ISSN: 0003-4150.
PUB. COUNTRY: France
DOCUMENT TYPE: (CLINICAL TRIAL)
Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 197512
ENTRY DATE: Entered STN: 19900313
Last Updated on STN: 19900313
Entered Medline: 19751204

AB Rupture of the hydatid cyst in man brings about mild to severe toxic reactions including death. The present study was undertaken to investigate some of the responses resulting from administration of the ovine hydatid fluid to the sheep, which, like man, is an intermediate host of the Echinococcus granulosus. In 50 sodium pentobarbital-anesthetized sheep, the arterial blood pressure (A.B.P.), central venous pressure (C.V.P.), respiration and electrocardiogram were recorded. Intraveonus administration of 5-10 ml hydatid fluid brought about moderate to severe fall in A.B.P. and rapid respiration with or without transient apnea or permanent repiratory cessation in 80 percent of the animals. Fifty percent of the sheep died of circulatory and respiratory failure after the first injection of the hydatid fluid. Boiled hydatid fluid did not lose its potency to evoke the above responses. Pretreatment of the aminals with atropine sulfate, 0,5 mg/kg subcutaneously, did not block the reactions. Administration of the **antihistamine** chlorpheniramine, 4 mg/kg intravenously, caused partial prevention of the reactions in 6 out of 10 responsive sheep. The cardiovascular and respiratory responses to ovine hydatid fluid may be due to antigen-antibody reactions or some toxic component of the fluid.

L10 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS
RN 100643-71-8 REGISTRY
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro-11-(4-piperidinylidene)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 8-Chloro-11-(4-piperidylidene)-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridine
CN Clarinex
CN Descarboethoxyloratadine
CN **Desloratadine**
CN Neoclarytin
CN Sch 34117
MF C19 H19 Cl N2
CI COM
SR CA
LC STN Files: ADISINSIGHT, ADISNEWS, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CIN, CSCHEM, DDFU, DIOGENES, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, MEDLINE, MRCK*, PHAR, PROMT, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

153 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
156 REFERENCES IN FILE CAPLUS (1962 TO DATE)

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 NEWS EXPRESS  January 6 CURRENT WINDOWS VERSION IS V6.01a,
               CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
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 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     1.05       1.05

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DICTIONARY FILE UPDATES:    4 FEB 2003  HIGHEST RN 485752-98-5

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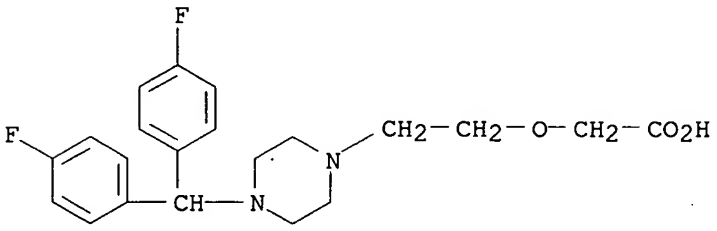
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> s cetirizine or fexofenadine or ebastine or astemizole or norastemizole or
epinastine or efletirizine
             5 CETIRIZINE
             4 FEXOFENADINE
             1 EBASTINE
             7 ASTEMIZOLE
             3 NORASTEMIZOLE
             3 EPINASTINE
             3 EFLETIRIZINE
```

L1 23 CETIRIZINE OR FEXOFENADINE OR EBASTINE OR ASTEMIZOLE OR NORASTEMIZOLE OR EPINASTINE OR EFLETIRIZINE

=> d 1-23

L1 ANSWER 1 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 225367-67-9 REGISTRY
CN Acetic acid, [2-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]ethoxy]-, dihydrochloride, monohydrate (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **Efletirizine dihydrochloride hydrate**
MF C21 H24 F2 N2 O3 . 2 Cl H . H2 O
SR CA
LC STN Files: CA, CAPLUS, DRUGPAT, DRUGUPDATES, USPAT2, USPATFULL
CRN (150756-35-7)

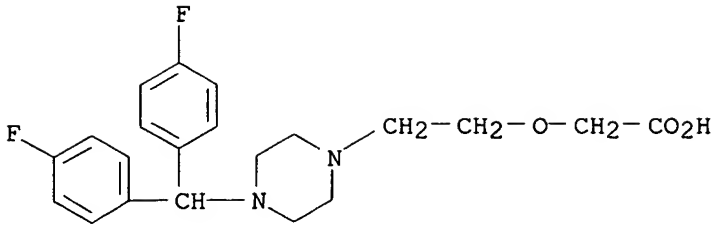


●2 HCl

● H2O

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L1 ANSWER 2 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 225367-66-8 REGISTRY
CN Acetic acid, [2-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **Efletirizine dihydrochloride**
MF C21 H24 F2 N2 O3 . 2 Cl H
SR CA
LC STN Files: ADISINSIGHT, CA, CAPLUS, DRUGPAT, DRUGUPDATES, USPAT2, USPATFULL
CRN (150756-35-7)



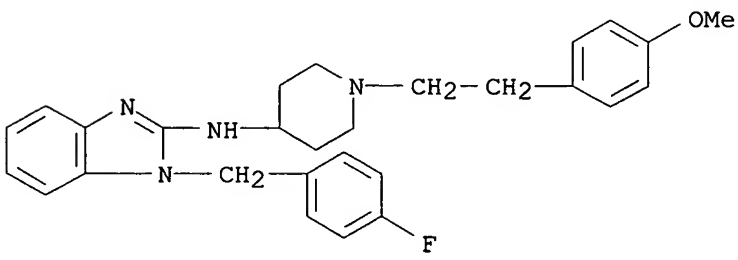
●2 HCl

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L1 ANSWER 3 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 169048-35-5 REGISTRY
CN Morphinan-6-ol, 4,5-epoxy-3-methoxy-17-methyl-, hydrochloride, (5.alpha.,6.alpha.)-, mixt. with 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-1H-benzimidazol-2-amine (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-, mixt. contg. (9CI)
OTHER NAMES:
CN **Astemizole-dihydrocodeine hydrochloride mixt.**
CN Dihydrocodeine hydrochloride-hismanal mixt.
FS STEREOSEARCH
MF C28 H31 F N4 O . C18 H23 N O3 . Cl H
CI MXS
SR CA
LC STN Files: CA, CAPLUS, DRUGPAT, DRUGUPDATES

CM 1

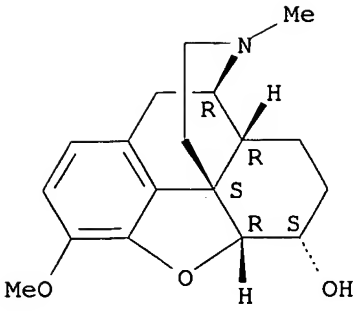
CRN 68844-77-9
CMF C28 H31 F N4 O



CM 2

CRN 36418-29-8 (125-28-0)
CMF C18 H23 N O3 . Cl H

Absolute stereochemistry.

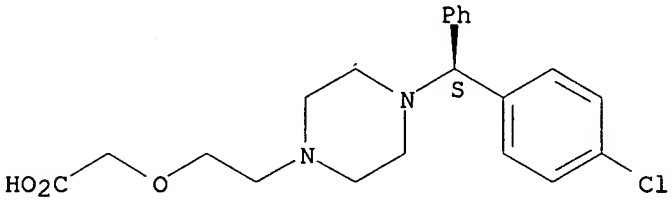


● HCl

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L1 ANSWER 4 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 163837-48-7 REGISTRY
CN Acetic acid, [2-[4-[(S)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride, (S)-
OTHER NAMES:
CN **(-)-Cetirizine dihydrochloride**
FS STEREOSEARCH
MF C21 H25 Cl N2 O3 . 2 Cl H
SR CA
LC STN Files: CA, CAPLUS, CASREACT, DRUGPAT, DRUGUPDATES, TOXCENTER, USPATFULL

Absolute stereochemistry. Rotation (-).

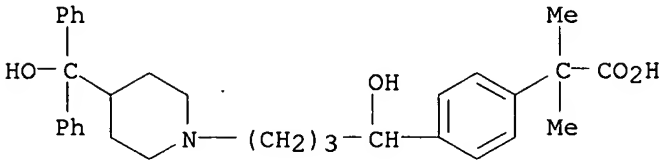


●2 HCl

7 REFERENCES IN FILE CA (1962 TO DATE)
7 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L1 ANSWER 5 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 153439-40-8 REGISTRY
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-.alpha.,.alpha.-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)
OTHER NAMES:
CN Allegra
CN **Fexofenadine hydrochloride**
CN MDL 16455A

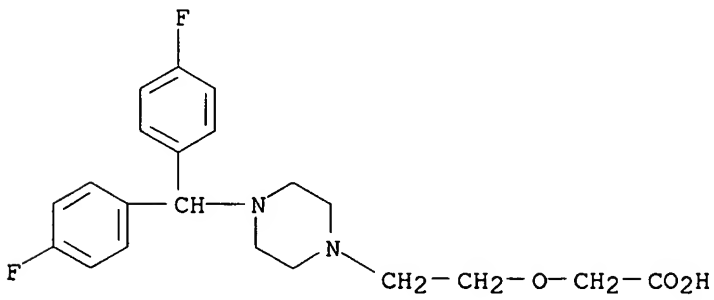
CN Telfast
CN Telfast BD
DR 138452-21-8
MF C32 H39 N O4 . Cl H
CI COM
SR CA
LC STN Files: ADISINSIGHT, ADISNEWS, ANABSTR, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CEN, CHEMCATS, CIN, CSCHEM, DDFU, DIOGENES, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, MEDLINE, MRCK*, MSDS-OHS, PHAR, PHARMASEARCH, PIRA, PROMT, SYNTHLINE, TOXCENTER, USAN, USPATFULL
(*File contains numerically searchable property data)
CRN (83799-24-0)



● HCl

66 REFERENCES IN FILE CA (1962 TO DATE)
66 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L1 ANSWER 6 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 150756-35-7 REGISTRY
CN Acetic acid, [2-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **Efletirizine**
CN [2-[4-[Bis(p-fluorophenyl)methyl]-1-piperazinyl]ethoxy]acetic acid
FS 3D CONCORD
MF C21 H24 F2 N2 O3
CI COM
SR World Health Organization
LC STN Files: ADISINSIGHT, ANABSTR, BIOBUSINESS, BIOSIS, CA, CAPLUS, DDFU, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, PHAR, PROMT, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
Other Sources: WHO

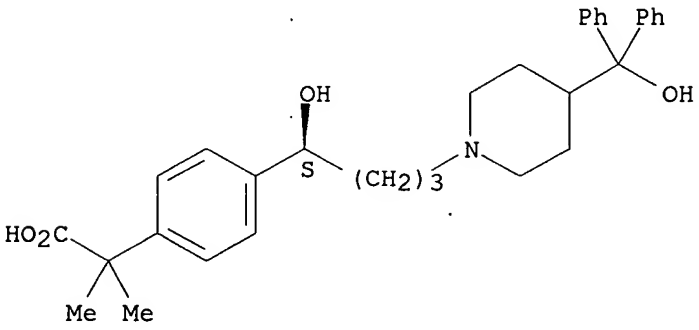


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

20 REFERENCES IN FILE CA (1962 TO DATE)
20 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L1 ANSWER 7 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 139965-11-0 REGISTRY
CN Benzeneacetic acid, 4-[(1S)-1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-.alpha.,.alpha.-dimethyl-, (S)-
OTHER NAMES:
CN **(S)-Fexofenadine**
FS STEREOSEARCH
MF C32 H39 N O4
CI COM
SR CA
LC STN Files: ADISINSIGHT, CA, CAPLUS, DRUGPAT, DRUGUPDATES, USPATFULL

Absolute stereochemistry. Rotation (-).

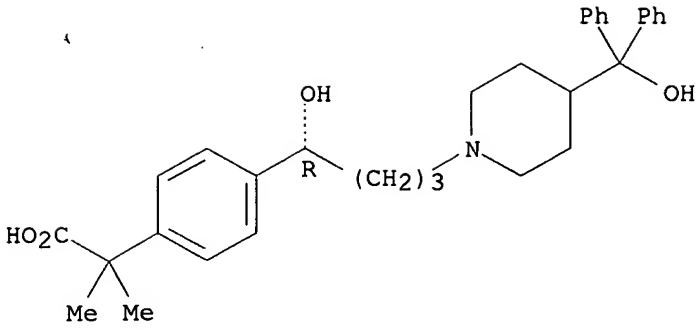


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

12 REFERENCES IN FILE CA (1962 TO DATE)
12 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L1 ANSWER 8 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 139965-10-9 REGISTRY
CN Benzeneacetic acid, 4-[(1R)-1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-.alpha.,.alpha.-dimethyl-, (R)-
OTHER NAMES:
CN **(R)-Fexofenadine**
FS STEREOSEARCH
MF C32 H39 N O4
CI COM
SR CA
LC STN Files: ADISINSIGHT, CA, CAPLUS, DRUGPAT, DRUGUPDATES, USPATFULL

Absolute stereochemistry. Rotation (+).

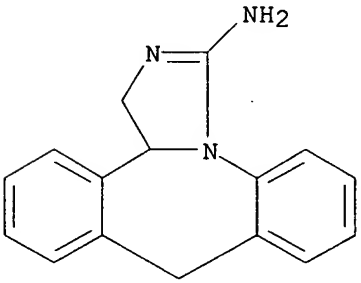


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

11 REFERENCES IN FILE CA (1962 TO DATE)
11 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L1 ANSWER 9 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 134507-57-6 REGISTRY
CN 1H-Dibenz[c,f]imidazo[1,5-a]azepin-3-amine, 9,13b-dihydro-, (+)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **(+)-Epinastine**
CN **d-Epinastine**
FS STEREOSEARCH
MF C16 H15 N3
SR CA
LC STN Files: CA, CAPLUS, DRUGPAT, DRUGUPDATES, TOXCENTER, USPATFULL

Rotation (+).



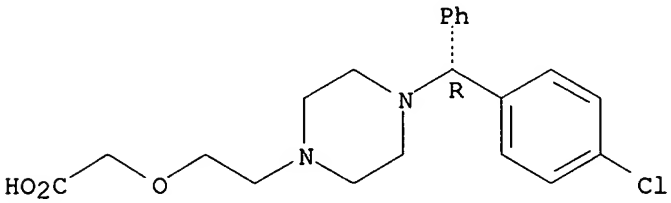
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1962 TO DATE)
4 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L1 ANSWER 10 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 130018-77-8 REGISTRY
CN Acetic acid, [2-[4-[(R)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, (R)-
OTHER NAMES:
CN **(-)-Cetirizine**
CN **Levocetirizine**
CN Xyzal

FS STEREOSEARCH
MF C21 H25 Cl N2 O3
SR CA
LC STN Files: ADISNEWS, BIOSIS, BIOTECHNO, CA, CAPLUS, CIN, DRUGPAT, DRUGUPDATES, EMBASE, IPA, PHAR, PROMT, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL

Absolute stereochemistry. Rotation (-).

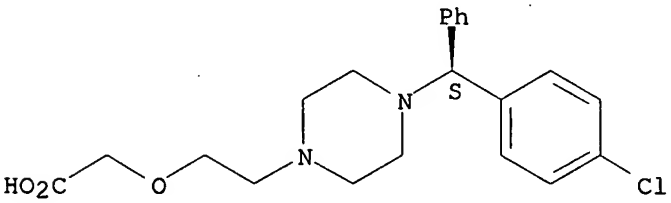


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

27 REFERENCES IN FILE CA (1962 TO DATE)
27 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L1 ANSWER 11 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 130018-76-7 REGISTRY
CN Acetic acid, [2-[4-[(S)-(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, (S)-
OTHER NAMES:
CN **(+)-Cetirizine**
CN **Dextrocetirizine**
FS STEREOSEARCH
MF C21 H25 Cl N2 O3
SR CA
LC STN Files: CA, CAPLUS, DRUGPAT, DRUGUPDATES, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry. Rotation (+).

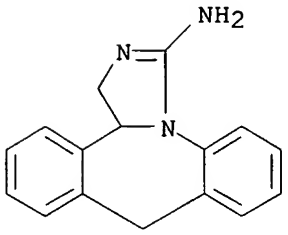


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

17 REFERENCES IN FILE CA (1962 TO DATE)
17 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L1 ANSWER 12 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 108929-04-0 REGISTRY
CN 1H-Dibenz[c,f]imidazo[1,5-a]azepin-3-amine, 9,13b-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)
OTHER NAMES:

CN Alesion
CN **Epinastine hydrochloride**
CN WAL 801CL
MF C16 H15 N3 . Cl H
CI COM
SR CA
LC STN Files: ADISINSIGHT, BEILSTEIN*, BIOBUSINESS, BIOSIS, CA, CAPLUS, CASREACT, CHEMCATS, CSCHEM, DRUGPAT, DRUGUPDATES, EMBASE, MEDLINE, MRCK*, PROMT, RTECS*, TOXCENTER, USPATFULL
(*File contains numerically searchable property data)
CRN (80012-43-7)

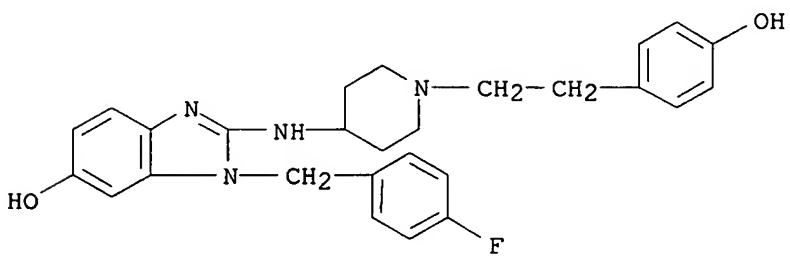


● HCl

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

20 REFERENCES IN FILE CA (1962 TO DATE)
20 REFERENCES IN FILE CAPLUS (1962 TO DATE)

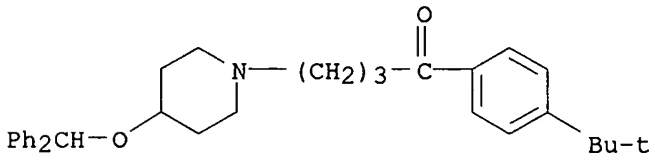
L1 ANSWER 13 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 104472-65-3 REGISTRY
CN 1H-Benzimidazol-6-ol, 1-[(4-fluorophenyl)methyl]-2-[[1-[2-(4-hydroxyphenyl)ethyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **6-Hydroxydesmethylastemizole**
CN R 52165
MF C27 H29 F N4 O2
SR CA
LC STN Files: ADISINSIGHT, BEILSTEIN*, BIOSIS, CA, CAPLUS, DDFU, DRUGU, TOXCENTER
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

6 REFERENCES IN FILE CA (1962 TO DATE)
6 REFERENCES IN FILE CAPLUS (1962 TO DATE)

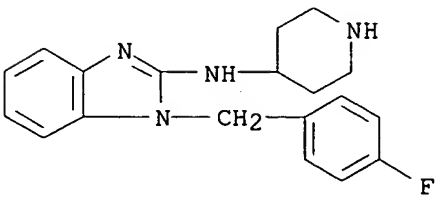
L1 ANSWER 14 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 90729-43-4 REGISTRY
CN 1-Butanone, 1-[4-(1,1-dimethylethyl)phenyl]-4-[4-(diphenylmethoxy)-1-piperidinyl]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN Ebastin
CN **Ebastine**
FS 3D CONCORD
MF C32 H39 N O2
CI COM
LC STN Files: ADISINSIGHT, ADISNEWS, ANABSTR, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CBNB, CHEMCATS, CIN, CSCHEM, DDFU, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, MEDLINE, MRCK*, PHAR, PROMT, RTECS*, SYNTHLINE, TOXCENTER, USAN, USPATFULL
(*File contains numerically searchable property data)
Other Sources: WHO



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

155 REFERENCES IN FILE CA (1962 TO DATE)
8 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
156 REFERENCES IN FILE CAPLUS (1962 TO DATE)

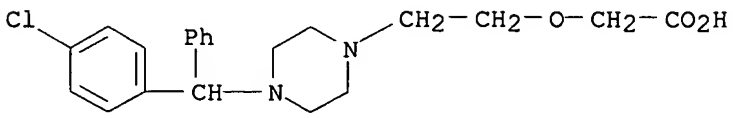
L1 ANSWER 15 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 90518-70-0 REGISTRY
CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-4-piperidinyl-, dihydrochloride (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **Norastemizole hydrochloride**
MF C19 H21 F N4 . 2 Cl H
LC STN Files: CA, CAPLUS, DRUGPAT, DRUGUPDATES, USPATFULL
CRN (75970-99-9)



2 HCl

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L1 ANSWER 16 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 83881-52-1 REGISTRY
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)
OTHER NAMES:
CN Alercet
CN Alerid
CN Alerlisin
CN Cestā
CN **Cetirizine dihydrochloride**
CN **Cetirizine hydrochloride**
CN Cetrine
CN Cetrizet
CN Cistamine
CN Formistin
CN Histazine
CN Nosemin
CN Reactine
CN Riztec
CN Ryzen
CN Sancotec
CN Selitex
CN Triz
CN UCB-P 071
CN Virlix
CN Zeran
CN Zirtek
CN Zirtin
CN Zyrlex
CN Zyrtec
CN Zyrzine
DR 130018-82-5
MF C21 H25 Cl N2 O3 . 2 Cl H
CI COM
LC STN Files: ADISNEWS, ANABSTR, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CIN, CSCHEM, DIOGENES, DRUGPAT, DRUGUPDATES, EMBASE, IPA, MEDLINE, MRCK*, PHAR, PROMT, RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)
CRN (83881-51-0)



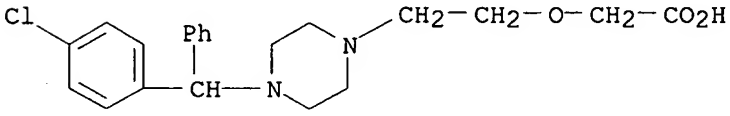
●2 HCl

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

82 REFERENCES IN FILE CA (1962 TO DATE)
82 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L1 ANSWER 17 OF 23 REGISTRY COPYRIGHT 2003 ACS

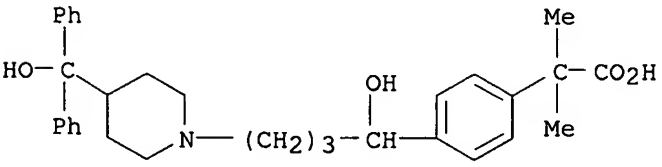
RN 83881-51-0 REGISTRY
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-
(9CI) (CA INDEX NAME)
OTHER NAMES:
CN **Cetirizine**
FS 3D CONCORD
DR 130018-86-9
MF C21 H25 Cl N2 O3
CI COM
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CIN, CSCHEM, DDFU, DIOGENES, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, MEDLINE, MRCK*, NIOSHTIC, PHAR, PROMT, RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL, VETU
(*File contains numerically searchable property data)
Other Sources: WHO



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

445 REFERENCES IN FILE CA (1962 TO DATE)
9 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
445 REFERENCES IN FILE CAPLUS (1962 TO DATE)

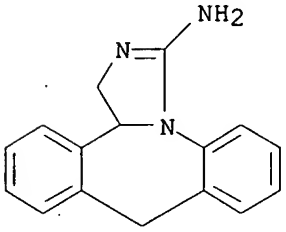
L1 ANSWER 18 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 83799-24-0 REGISTRY
CN Benzeneacetic acid, 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 4-[4-[4-(Hydroxydiphenylmethyl)-1-piperidinyl]-1-hydroxybutyl]-.alpha.,.alpha.-dimethylphenylacetic acid
CN Carboxyterfenadine
CN **Fexofenadine**
CN MDL 16455
CN Terfenadine acid metabolite
CN Terfenadine carboxylate
FS 3D CONCORD
DR 159389-12-5, 76815-58-2
MF C32 H39 N O4
CI COM
LC STN Files: ADISINSIGHT, ADISNEWS, ANABSTR, BIOBUSINESS, BIOSIS, CA, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CIN, CSCHEM, DDFU, DIOGENES, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, MEDLINE, MRCK*, PROMT, RTECS*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

207 REFERENCES IN FILE CA (1962 TO DATE)
5 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
208 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L1 ANSWER 19 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 80012-43-7 REGISTRY
CN 1H-Dibenz[c,f]imidazo[1,5-a]azepin-3-amine, 9,13b-dihydro- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **(.+-.)-Epinastine**
CN **Epinastine**
CN WAL 801
FS 3D CONCORD
DR 134507-59-8
MF C16 H15 N3
CI COM
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB, CIN, DDFU, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, MEDLINE, MRCK*, PHAR, PROMT, SYNTHLINE, TOXCENTER, USAN, USPATFULL
(*File contains numerically searchable property data)
Other Sources: WHO

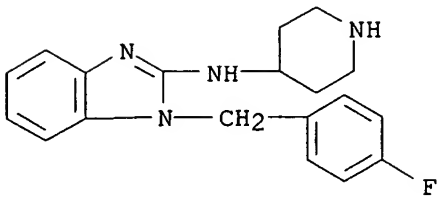


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

125 REFERENCES IN FILE CA (1962 TO DATE)
4 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
125 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L1 ANSWER 20 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 75970-99-9 REGISTRY
CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 1-(4-Fluorobenzyl)-2-(4-piperidylamino)benzimidazole
CN 1-(4-Fluorophenylmethyl)-2-(4-piperidylamino)benzimidazole
CN **Norastemizole**
CN R 43512
CN Soltara
CN T 1348
CN Tecastemizole
MF C19 H21 F N4
CI COM
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CEN, CIN, CSCHEM, DDFU, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, MEDLINE, PHAR, PROMT, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL

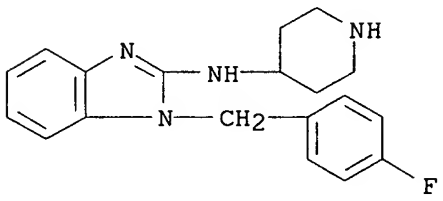
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

61 REFERENCES IN FILE CA (1962 TO DATE)
61 REFERENCES IN FILE CAPLUS (1962 TO DATE)

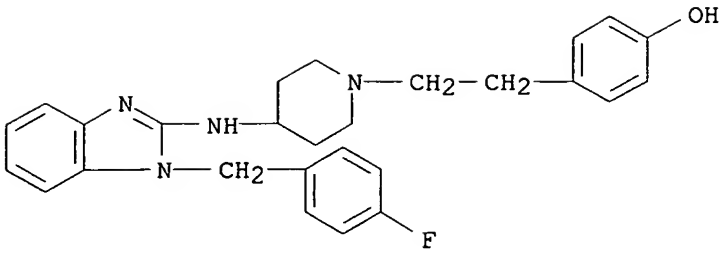
L1 ANSWER 21 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 75970-64-8 REGISTRY
CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-4-piperidinyl-, dihydrobromide (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **Norastemizole hydrobromide**
CN R 41232
MF C19 H21 F N4 . 2 Br H
LC STN Files: ADISINSIGHT, BEILSTEIN*, CA, CAPLUS, CASREACT, CHEMLIST, DRUGPAT, DRUGUPDATES, SYNTHLINE, TOXCENTER, USPATFULL
(*File contains numerically searchable property data)
Other Sources: EINECS**
(**Enter CHEMLIST File for up-to-date regulatory information)
CRN (75970-99-9)



●2 HBr

11 REFERENCES IN FILE CA (1962 TO DATE)
11 REFERENCES IN FILE CAPLUS (1962 TO DATE)

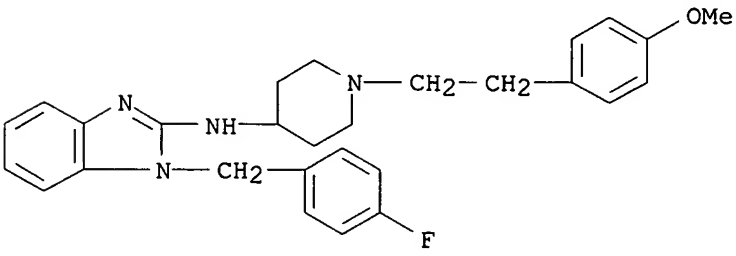
L1 ANSWER 22 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 73736-50-2 REGISTRY
CN Phenol, 4-[2-[4-[[1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **Desmethylastemizole**
CN **O-Demethylastemizole**
CN R 44271
MF C27 H29 F N4 O
LC STN Files: ADISINSIGHT, BEILSTEIN*, BIOBUSINESS, BIOSIS, CA, CAPLUS, CASREACT, IPA, MEDLINE, TOXCENTER, USPATFULL
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

17 REFERENCES IN FILE CA (1962 TO DATE)
17 REFERENCES IN FILE CAPLUS (1962 TO DATE)

L1 ANSWER 23 OF 23 REGISTRY COPYRIGHT 2003 ACS
RN 68844-77-9 REGISTRY
CN 1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **Astemizole**
CN Hismanal
CN R 42512
MF C28 H31 F N4 O
CI COM
LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMLIST, CIN, CSCHEM, DDFU, DIOGENES, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, HSDB*, IPA, MEDLINE, MRCK*, MSDS-OHS, NIOSHTIC, PHAR, PROMT, RTECS*, SPECINFO, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL, VETU
(*File contains numerically searchable property data)
Other Sources: EINECS**, WHO
(**Enter CHEMLIST File for up-to-date regulatory information)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

416 REFERENCES IN FILE CA (1962 TO DATE)
15 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
418 REFERENCES IN FILE CAPLUS (1962 TO DATE)

Connecting via Winsock to STN

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4	Apr 09	ZDB will be removed from STN
NEWS 5	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 6	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 7	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 8	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS 9	Jun 03	New e-mail delivery for search results now available
NEWS 10	Jun 10	MEDLINE Reload
NEWS 11	Jun 10	PCTFULL has been reloaded
NEWS 12	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS 13	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
NEWS 14	Jul 29	Enhanced polymer searching in REGISTRY
NEWS 15	Jul 30	NETFIRST to be removed from STN
NEWS 16	Aug 08	CANCERLIT reload
NEWS 17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18	Aug 08	NTIS has been reloaded and enhanced
NEWS 19	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 20	Aug 19	IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 21	Aug 19	The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 23	Sep 03	JAPIO has been reloaded and enhanced
NEWS 24	Sep 16	Experimental properties added to the REGISTRY file
NEWS 25	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 26	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 27	Oct 21	EVENTLINE has been reloaded
NEWS 28	Oct 24	BEILSTEIN adds new search fields
NEWS 29	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 30	Oct 25	MEDLINE SDI run of October 8, 2002
NEWS 31	Nov 18	DKILIT has been renamed APOLLIT
NEWS 32	Nov 25	More calculated properties added to REGISTRY
NEWS 33	Dec 02	TIBKAT will be removed from STN
NEWS 34	Dec 04	CSA files on STN
NEWS 35	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 36	Dec 17	TOXCENTER enhanced with additional content
NEWS 37	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 38	Dec 30	ISMEC no longer available
NEWS 39	Jan 13	Indexing added to some pre-1967 records in CA/CAPLUS
NEWS 40	Jan 21	NUTRACEUT offering one free connect hour in February 2003
NEWS 41	Jan 21	PHARMAML offering one free connect hour in February 2003
NEWS 42	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC

L5 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:124005 CAPLUS
DOCUMENT NUMBER: 128:208908
TITLE: Treatment of upper airway **allergic** responses with a combination of histamine receptor antagonists
INVENTOR(S): Kreutner, William; Hey, John A.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9806394	A1	19980219	WO 1997-US13903	19970813
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9707263	A	19980216	ZA 1997-7263	19970813
AU 9739733	A1	19980306	AU 1997-39733	19970813
AU 722040	B2	20000720		
EP 920315	A1	19990609	EP 1997-937153	19970813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				
BR 9711149	A	19990817	BR 1997-11149	19970813
CN 1233179	A	19991027	CN 1997-198713	19970813
JP 2000505094	T2	20000425	JP 1998-509859	19970813
NZ 334063	A	20000929	NZ 1997-334063	19970813
KR 2000029975	A	20000525	KR 1999-701226	19990212
NO 9900706	A	19990215	NO 1999-706	19990215

PRIORITY APPLN. INFO.: US 1996-689951 A 19960816
WO 1997-US13903 W 19970813

AB Relief from the symptoms of **rhinitis** is obtained by treatment with: (a) an antihistaminic effective amt. of a histamine H1 receptor antagonist; together with (b) a sufficient amt. of a histamine H3 receptor antagonist to provide a nasal decongestant effect. The components may be administered together in a single dosage form, or sep. in the same or different dosage forms to maintain therapeutic systemic levels of both components. The nasal airways resistance following injection of 3 mg/kg loratadine and 10 mg/kg thioperamide in cats was 2.1 as compared with 10.2 for loratadine alone. A tablet contained H1 antagonist effective amt., H3 antagonist effective amt., lactose 100, 10% corn starch past 5, dried corn starch 25, and magnesium stearate 1.25 mg.

=>

L5 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:104511 CAPLUS
DOCUMENT NUMBER: 130:163188
TITLE: Treatment of upper airway **allergic** responses with H1- and H3-histamine receptor antagonists
INVENTOR(S): Kreutner, William; Hey, John A.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5869479	A	19990209	US 1997-909319	19970814

PRIORITY APPLN. INFO.: US 1997-909319 19970814

AB Relief from the symptoms of **rhinitis** is obtained by treatment with: (a) an antihistaminic effective amt. of a histamine H1 receptor antagonist; together with (b) a sufficient amt. of a histamine H3 receptor antagonist to provide a nasal decongestant effect. The components may be administered together in a single dosage form, or sep. in the same or different dosage forms to maintain therapeutic systemic levels of both components.

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVA

L5 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 1

ACCESSION NUMBER: 2000:867996 CAPLUS
DOCUMENT NUMBER: 135:28531
TITLE: Therapeutic options in **allergic** disease: antihistamines as systemic antiallergic agents
AUTHOR(S): Marshall, Gailen D., Jr.
CORPORATE SOURCE: Division of Allergy and Clinical Immunology, The University of Texas-Houston Medical School, Houston, TX, 77030, USA
SOURCE: Journal of Allergy and Clinical Immunology (2000), 106(5, Suppl.), S303-S309
CODEN: JACIBY; ISSN: 0091-6749
PUBLISHER: Mosby, Inc.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review with 81 refs. The pathophysiol. factors of **allergic** diseases involve many elements of systemic disease. With this understanding, **allergic** inflammation can be thought of as a reflection of systemic immune responses with compartmentalized manifestations in various organ systems, including the upper respiratory tract, lungs, gastrointestinal tract, and skin. Thus, any therapeutic approach to the treatment of **allergic** disease should address, in addn. to the localized disease manifestations, the systemic immunol. dysregulation. Second-generation antihistamines (**cetirizine**, fexofenadine, loratadine) have been used since the 1980s to treat localized allergy symptoms in upper airways, skin, and, in some cases, the lungs; however, the efficacy of these agents in controlling systemic immune dysregulation and chronic **allergic** inflammation (e.g., nasal congestion) has not been proved. The potential role of newer antihistamines in the amelioration of both localized and systemic aspects of **allergic** disease represents an active area of interest. **Desloratadine**, a new selective histamine H1-receptor antagonist with potent antihistaminic and antiinflammatory activity, is introduced and its potential for treating the systemic aspects of **allergic** disease is discussed.

REFERENCE COUNT: 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:567449 CAPLUS
DOCUMENT NUMBER: 133:168392
TITLE: Composition and method for treating **allergic** diseases
INVENTOR(S): Aslanian, Robert G.; Piwinski, John J.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6103735	A	20000815	US 1999-412621	19991006

PRIORITY APPLN. INFO.: US 1999-412621 19991006
OTHER SOURCE(S): MARPAT 133:168392
AB The present invention is directed towards a pharmaceutical compn. useful for the treatment of **allergic rhinitis, asthma** and related disorders. In one embodiment, the compn. comprises, in combination, a therapeutically effective amt. of at least one neurokinin antagonist, a therapeutically effective amt. of at least one H3 antagonist and a therapeutically effective amt. of at least one H1 antagonist.
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:79915 CAPLUS
DOCUMENT NUMBER: 135:131511
TITLE: Present and potential therapy for **allergic rhinitis**. A review
AUTHOR(S): Reichmuth, Daniel; Lockey, Richard F.
CORPORATE SOURCE: Division of Allergy and Immunology, University of South Florida College of Medicine, Tampa, FL, USA
SOURCE: BioDrugs (2000), 14(6), 371-387
CODEN: BIDRF4; ISSN: 1173-8804
PUBLISHER: Adis International Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review with 160 refs. **Allergic rhinitis** can affect up to one-fifth of the population and the economic impact is increasing. H1 receptor antagonists were the first major pharmacol. treatment, but the assocd. sedation limited their use. The 2 initial second generation less sedating antihistamines, astemizole and terfenadine, were found to prolong the cardiac QTc interval, esp. when administered with other medications metabolized by the same cytochrome (CYP) P 450 isoenzyme, CYP3A4. Other second generation antihistamines, fexofenadine, loratadine and **cetirizine**, do not cause clin. significant cardiac QTc interval prolongation. Two newer agents, ebastine and mizolastine, are also effective in the treatment of **allergic rhinitis**. Ebastine, however, prolongs the cardiac QTc interval in lab. animals and humans, the clin. significance of which is unknown. **Desloratadine** and norastemizole, metabolites of loratadine and astemizole, resp., are 2 other second generation antihistamines found to be effective treatments for seasonal **allergic rhinitis**. Unlike their parent compds., they do not prolong the cardiac QTc interval. All clin. available intranasal corticosteroids are effective in the treatment of **allergic rhinitis**, but studies to evaluate possible long term systemic adverse effects are limited. Mometasone furoate and

fluticasone propionate have lower oral bioavailability compared with other corticosteroids that are given intranasally. This may be important, since it is likely that some of the intranasal corticosteroid is ingested. Two 1-yr growth studies in children indicated that intranasal beclomethasone dipropionate given twice daily reduces growth velocity, whereas intranasal mometasone furoate given once daily in the morning does not. Other studies are needed. Most but not all studies have shown that leukotriene antagonists are effective in the treatment of **allergic rhinitis**. H1 receptor antagonists are not very effective in reducing nasal congestion, but leukotriene antagonists do attenuate this symptom. Furthermore, one study demonstrates an additive benefit in treating **allergic rhinitis** with the combination of a H1 receptor and leukotriene antagonist. Clin. trials have demonstrated that anti-Ig (Ig) E is effective in the treatment of seasonal **allergic rhinitis** when free IgE is reduced to <25 .mu.g/L. The redn. of total IgE is dose dependent and s.c. and i.v. administration are both effective. Immunotherapy is also an effective treatment for **allergic rhinitis**. CpG oligonucleotides is a novel adjuvant for allergen immunotherapy. This adjuvant used in a murine model shifts the immune response away from the **allergic** or TH2 phenotype. Studies in humans have not been performed.

REFERENCE COUNT: 160 THERE ARE 160 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:259985 CAPLUS
DOCUMENT NUMBER: 132:284236
TITLE: Composition and method for treating **allergic** diseases
INVENTOR(S): Aslanian, Robert G.; Piwinski, John J.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021512	A2	20000420	WO 1999-US21437	19991006
WO 2000021512	A3	20000706		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2346227	AA	20000420	CA 1999-2346227	19991006
AU 9962526	A1	20000501	AU 1999-62526	19991006
EP 1117405	A2	20010725	EP 1999-949707	19991006
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002527381	T2	20020827	JP 2000-575488	19991006

PRIORITY APPLN. INFO.: US 1998-169608 A 19981009
WO 1999-US21437 W 19991006
OTHER SOURCE(S): MARPAT 132:284236

AB The present invention is directed towards a pharmaceutical compn. useful for the treatment of **allergic rhinitis, asthma** and related disorders. In one embodiment, the compns. comprise, in combination, a therapeutically effective amt. of at least one neurokinin antagonist, a therapeutically effective amt. of at least one H3 antagonist and a therapeutically effective amt. of at least one H1 antagonist. The invention neurokinin antagonists include 3,5-dichloro-N-[3-(3,4-dichlorophenyl)-2-(methoxyimino)-5-(2-oxo[1,4'-bipiperidin]-1'-yl)pentyl]-N-methylbenzamide and derivs. thereof.

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'RN' IS NOT A VALID FIELD CODE
L1        1352 CETIRIZINE OR 83881-52-1/RN OR 83881-51-0/RN

=> s desloratadine or 100643-71-8/rn
'RN' IS NOT A VALID FIELD CODE
L2         239 DESLORATADINE OR 100643-71-8/RN

=> s l1 and l2
L3          38 L1 AND L2

=> s l3 and (allergic or rhinitis or dermatitis or asthma  or inflammatory)
L4          29 L3 AND (ALLERGIC OR RHINITIS OR DERMATITIS OR ASTHMA OR INFLAMMA
               TORY)

=> dup rem l4
PROCESSING COMPLETED FOR L4
L5          28 DUP REM L4 (1 DUPLICATE REMOVED)

=> d ibib abs 1-28

L5   ANSWER 1 OF 28  CAPLUS  COPYRIGHT 2003 ACS
ACCESSION NUMBER:         2003:5782  CAPLUS
DOCUMENT NUMBER:          138:49929
TITLE:                    Antihistamines for the treatment of nasal congestion
                          and nasal obstruction
INVENTOR(S):              Salmun, Luis M.; Rohane, Patricia; Lorber, Richard R.
PATENT ASSIGNEE(S):       Schering Corporation, USA
SOURCE:                   PCT Int. Appl., 28 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
     WO 2003000264      A1   20030103       WO 2002-US19414  20020619
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,
             ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
             MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK,
             SL, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, ZM, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                  US 2001-299636P  P  20010620
                                        US 2001-299637P  P  20010620
AB   The use of desloratadine and/or other antihistamines for
     treating and/or preventing severe nasal congestion and/or nasal blockage
     assocd. with allergic and inflammatory conditions of
     the upper and lower airway passages in a human is described.
     Desloratadine significantly decreased nasal congestion/stuffiness
     (P=0.02 and 0.01 for 5 mg and 7.5 mg, resp., of desloratadine
     vs. placebo) as well as total symptom severity in patients with seasonal
     allergic rhinitis.
REFERENCE COUNT:          21    THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
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L5 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:594844 CAPLUS
DOCUMENT NUMBER: 137:140518
TITLE: Preparation of thiazolyl-, oxazolyl-, pyrrolyl-, and imidazolyl- acid amide derivatives as inhibitors of phosphodiesterase IV isozymes
INVENTOR(S): Marfat, Anthony; McKechney, Michael William
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 249 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060898	A1	20020808	WO 2001-IB2728	20011224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002123520	A1	20020905	US 2002-62145	20020131

PRIORITY APPLN. INFO.: US 2001-265486P P 20010131
OTHER SOURCE(S): MARPAT 137:140518
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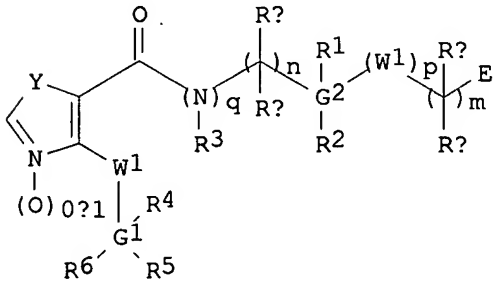

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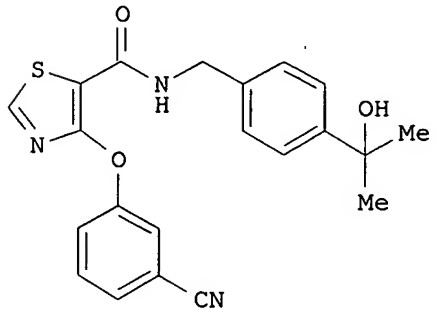


II

AB Title compds. I [wherein p = 0-1; q = 0-1; provided that when q = 0, n = 2; m = 0-3; n = 1-2; W1 and W2 = independently O, SO0-2, or NR3; or W2 =

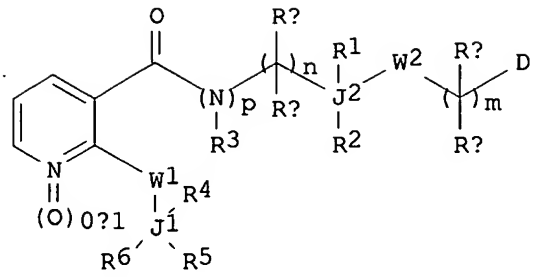
(un)substituted methylene; Y = SO0-2, O, NO0-1, NR3, or (un)substituted methylene; ; RA and RB = independently H, F, CF3, alkyl, or (un)substituted cycloalkyl, Ph, or benzyl; or when m = 1, CRARB = (un)substituted spiro; RC and RD have the same meaning as RA and RB except that one of them must be H; R1 and R2 = H, F, Cl, CN, NO2, (fluoro)alkyl, alkynyl, alkoxy, phenoxy, carbamoyl, etc.; R3 = H, alkyl, Ph, benzyl, alkoxy, phenoxy, etc.; R4, R5, and R6 = H, F, Cl, and (un)substituted (cyclo)alkyl, alkenyl, alkynyl, Ph, benzyl, pyridyl, alkoxy, phenoxy, acyl, carboxy, CN, NO2, carbamoyl, ureido, (hetero)aryl, etc.; G1 and G2 = independently (un)satd. carbocyclyl or heterocyclyl; E = (un)substituted carboxy, carbamoyl, acyl, hydroxyalkyl, cyanoalkyl, acylamino, ureido, amino, heterocyclyl, etc.] were prepd. as inhibitors of PDE4 (no data). For example, 4-(3-cyanophenoxy)thiazole-5-carboxylic acid was treated with 2-(4-aminomethylphenyl)propan-2-ol in the presence of EDCl and HOBT in DMF to give the thiazolamide II. I are useful in the treatment of diseases regulated by the activation and degranulation of eosinophils, esp. **asthma**, chronic bronchitis, and chronic obstructive pulmonary disease (no data). In addn., I may be used in combination therapy with a wide variety of other therapeutic agents.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

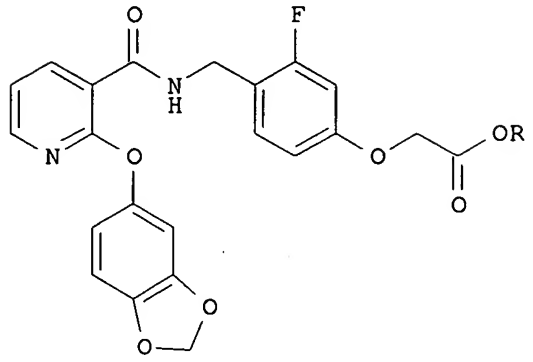
L5 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:594842 CAPLUS
DOCUMENT NUMBER: 137:154859
TITLE: Preparation of carbamoyl-substituted pyridinyl aryl ether derivatives as inhibitors of phosphodiesterase IV isozymes
INVENTOR(S): Chambers, Robert James; Magee, Thomas Victor; Marfat, Anthony
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 285 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060896	A1	20020808	WO 2001-IB2726	20011224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003027845	A1	20030206	US 2002-66503	20020131

PRIORITY APPLN. INFO.: US 2001-265304P P 20010131
OTHER SOURCE(S): MARPAT 137:154859
GI



I



II

AB Title compds. compds. I [wherein p = 0-1, provided that when p = 0, n = 2; m = 1-3; n = 1-2; W1 and W2 = independently O, S(O)0-2, or NR3; Y = =C(R1a) or N(O)0-1; R1a = H, F, Cl, CN, NO2, (fluoro)alkyl, alkynyl, fluoroalkoxy, OR16, or (un)substituted carbamoyl; RA and RB = independently H, F, CF3, or (un)substituted (cyclo)alkyl, Ph, or benzyl; or CRARB = spiro moiety; RC and RD = the same as RA and RB except that one of them must be H; R1 and R2 = independently H, F, Cl, CN, NO2, (fluoro)alkyl, alkynyl, OR16, or (un)substituted carbamoyl; R3 = H, alkyl, Ph, benzyl, or OR16; R4, R5 and R6 = independently H, F. Cl, alkynyl, R16, OR16, SO0-2R16, COR16, CO2R16, OCOR16, CN, NO2, (un)substituted carbamoyl(oxy), ureido, carboximidoyl, aryl, heterocyclyl, etc.; or R5 and R6 taken together with the atoms to which they are attached = (hetero)cyclyl; J1 and J2 = independently (un)substituted, (un)satd. monocyclic or fused polycyclic ring; D = (un)substituted carboxy, carbamoyl, acyl, hydroxy(alkyl), cyano(alkyl), etc.; R16 = H or (un)substituted (cyclo)alkyl, alkenyl, Ph, benzyl, or pyridyl] were prepd. as inhibitors of PDE4 (no data). For example, 2-(benzo[1,3]dioxol-5-yloxy)nicotinic acid was coupled with (4-aminomethyl-3-fluorophenoxy)acetic acid Me ester in the presence of 1-hydroxybenzotriazole.bul.H2O and 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide.bul.HCl in DMF/CH2Cl2 to give the pyridinecarboxamide II (R = Me) in 38% yield. Sapon. using aq. LiOH in THF and MeOH afforded the desired acid II (R = OH) in 21% yield. I are useful in the treatment of diseases regulated by the activation and degranulation of eosinophils, esp. **asthma**, chronic bronchitis, and chronic obstructive pulmonary disease (no data). In addn., I may be used in combination therapy with a wide variety of other therapeutic agents.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:594822 CAPLUS
DOCUMENT NUMBER: 137:154857
TITLE: Preparation of nicotinamide biaryl derivatives as inhibitors of PDE4 isozymes

INVENTOR(S): Chambers, Robert James; Magee, Thomas Victor; Marfat, Anthony
PATENT ASSIGNEE(S): Pfizer Productors Inc., USA
SOURCE: PCT Int. Appl., 224 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060875	A1	20020808	WO 2001-IB2341	20011206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002193612	A1	20021219	US 2002-62813	20020131

PRIORITY APPLN. INFO.: US 2001-265492P P 20010131
OTHER SOURCE(S): MARPAT 137:154857
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; g = 0-1; j = 0-1; provided that when j = 0, n must be 2; k = 0-1; m = 0-2; n = 1-2; W1 = 0, SOt (t = 0-2), NR3; W2 = OCR9R10, or absent; Y = CR1, NOk (k = 0-1); R9, R10 = H, F, CF3, etc.; or R9 and R10 are taken together, but only in the case where m = 1, to form a spiro moiety; R7, R8 have the same meaning as R9, R10 except that one of them must be H; R1, R2 = H, F, Cl, etc.; R3 = H, alkyl, Ph, etc.; R4-R6 = H, F, Cl, etc.; Q1 = Ph, benzodioxyl, etc.; Q2 = biaryl moiety], useful as inhibitors of PDE4 in the treatment of diseases regulated by the activation and degranulation of eosinophils, esp. **asthma**, chronic bronchitis, and chronic obstructive pulmonary disease, were prepd. E.g., a multi-step synthesis of the amide II, starting from Me 3-bromobenzoate and 4-formylbenzeneboronic acid, was given. Compds. I showed anti-**inflammatory** activity at 0.0001 .mu.M to 20.0 .mu.M in whole blood assay for LTE4.
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:353315 CAPLUS
DOCUMENT NUMBER: 136:374833
TITLE: Inhalant composition containing tiotropium salts and anti-histamines
INVENTOR(S): Pairet, Michel; Pieper, Michael Paul; Meade, Christopher John Montague; Schmelzer, Christel
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma Kg, Germany
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036163	A2	20020510	WO 2001-EP12510	20011023
WO 2002036163	A3	20021212		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10138272	A1	20030227	DE 2001-10138272	20010810
US 2002151541	A1	20021017	US 2001-7182	20011019
US 2002183292	A1	20021205	US 2001-86145	20011019
AU 2002014030	A5	20020515	AU 2002-14030	20011023
US 2002137764	A1	20020926	US 2001-40196	20011025

PRIORITY APPLN. INFO.:	DE 2000-10054042	A	20001031
	DE 2001-10138272	A	20010810
	US 2000-253613P	P	20001128
	DE 2000-10062712	A	20001215
	US 2000-257220P	P	20001221
	US 2001-314599P	P	20010824
	WO 2001-EP12510	W	20011023

AB The invention relates to inhalant compns. based on tiotropium salts and anti-histamines, a method for their prodn. and their use for treating respiratory illnesses, e.g. **allergic** and non-**allergic** **rhinitis**. Thus and inhalation powder contained per microcapsule (.mu.g): tiotropium bromide 21.7; epinastine-hydrochloride 200; lactose 4778.3.

L5 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	2002:392238 CAPLUS
DOCUMENT NUMBER:	136:380104
TITLE:	Antihistamine, alone or with leukotriene antagonist, for the prevention and treatment of cardiovascular disease
INVENTOR(S):	Harris, Alan G.; Medeiros, Paul T.
PATENT ASSIGNEE(S):	Schering Corporation, USA
SOURCE:	U.S. Pat. Appl. Publ., 8 pp. CODEN: USXXCO
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002061902	A1	20020523	US 2001-21189	20011030
WO 2002067938	A2	20020906	WO 2001-US45481	20011026
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2000-244365P P 20001030

AB Methods are disclosed for treating and/or preventing a cardiovascular disease in a human suffering from an **allergic** and/or **inflammatory** condition of the skin or upper airway passages or cardiovascular disease by administering an effective amt. of an antihistamine, preferably **desloratadine**, alone or in admixt. with an effective amt. of at least one leukotriene antagonist, preferably montelukast.

L5 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:44146 CAPLUS

DOCUMENT NUMBER: 138:73178

TITLE: Preparation and pharmaceutical combinations of [(hetero)arylalkyl]piperidinyl amine, amide, or carbamate CCR3 antagonists for treatment of **asthma, allergic** disease, or inflammation

INVENTOR(S): Bahl, Ash; Perry, Matthew; Springthorpe, Brian

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: Brit. UK Pat. Appl., 91 pp.
CODEN: BAXXDU

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

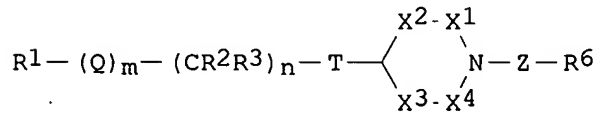
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2373186	A1	20020918	GB 2001-4534	20010223

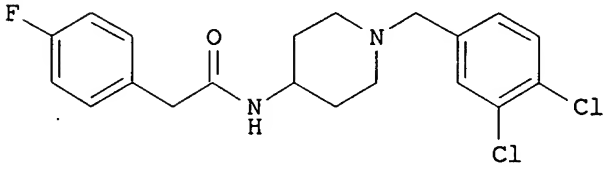
PRIORITY APPLN. INFO.: GB 2001-4534 20010223

OTHER SOURCE(S): MARPAT 138:73178

GI



I



II

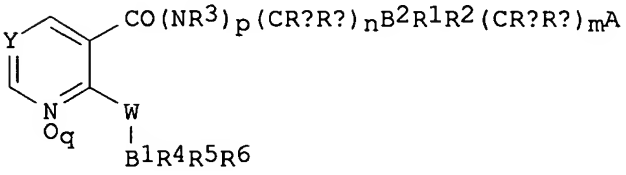
AB Title compds. I [wherein Z = CR4R5, CO, or CR4R5Z1; Z1 = alkylene, alkenylene, or CONH; R1 = (un)substituted alkyl, alkenyl, (hetero)cycloalkyl, or (hetero)aryl; Q = O, S, NR9, CO, CONR9, NR9CO, or CH=CH; m = 0-1; n = 0-6 with the proviso that when n = 0; then m = 0; R2 and R3 = independently H or alkyl; or CR2R3 = (alkyl)cycloalkyl; T = NR10, CONR10, NR11CONR10, or CONR10R11; X1-X4 = independently CH2CHR12 or CO; R4 and R5 = independently H or alkyl; R6 = (un)substituted (hetero)aryl; R9-R11 = independently H, alkyl, haloalkyl, hydroxyalkyl, cycloalkyl(alkyl), or phenylalkyl; R12 = independently (cyclo)alkyl or CO; or R12 groups of X1 and X3 or X4, or X2 and X3 or X4 join to form CH2CH2, CH2CH2CH2, CH2OCH2, or CH2SCH2; or pharmaceutically acceptable salts or

solvates thereof] were prepd. as cysteine-cysteine chemokine receptor 3 (CCR3) antagonists for use in pharmaceutical combinations with a histamine antagonist, steroid, leukotriene modulator, human cytokine, .beta.-agonist, phosphodiesterase inhibitor, or antibody (no data). For example, 1-(3,4-dichlorobenzyl)-4-piperidinamine.bul.2CF3CO2H was condensed with 2-(4-fluorophenyl)acetic acid to give N-[1-(3,4-dichlorobenzyl)-4-piperidinyl]-2-(4-fluorophenyl)acetamide (II). I are useful in combination therapy for the treatment of **asthma**, **rhinitis**, and other **allergic** or **inflammatory** conditions (no data).

L5 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:591707 CAPLUS
DOCUMENT NUMBER: 137:140509
TITLE: Preparation of nicotinamides and mimetics as inhibitors of phosphodiesterase IV isozymes
INVENTOR(S): Chambers, Robert J.; Magee, Thomas V.; Marfat, Anthony
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 180 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1229034	A1	20020807	EP 2002-250202	20020111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2002111495	A1	20020815	US 2002-62811	20020131
BR 2002000250	A	20021008	BR 2002-250	20020131

PRIORITY APPLN. INFO.: US 2001-265240P P 20010131
US 1997-43403P P 19970404
US 1998-105120P P 19981021
OTHER SOURCE(S): MARPAT 137:140509
GI



I

AB Title compds. [I; p, q = 0, 1; m = 0-2; n = 1, 2; A = CO2R7, CONR9CO2R7, CONR7R9, OP(O)(OH)2, SO3H, acylsulfonamido, etc.; W = O, S, SO, SO2, NR3; Y = N, NO, CR11; R1, R2 = H, F, Cl, cyano, NO2, alkyl, alkynyl, fluoroalkyl, etc.; R3 = H, alkyl, Ph, PhCH2, etc.; R4-R6 = H, F, Cl, alkynyl, cyano, NO2, etc.; R7 = H, (substituted) alkyl, alkenyl, alkynyl; R9 = H, alkyl, cycloalkyl, Ph, PhCH2, pyridyl, etc.; R11 = H, F, Cl, cyano, NO2, alkyl, alkynyl, fluoroalkyl, fluoroalkoxy, etc.; Ra, Rb = H, F, CF3, alkyl, (substituted) cycloalkyl, Ph, PhCH2; B1, B2 = 3-7 membered (hetero)cyclyl, 7-12 membered poly(hetero)cyclyl; pairs of variables may form rings; with provisos], were prepd. (no data). Thus, Me 2-[4-[[[2-(benzo[1,3]dioxol-5-yloxy)pyridine-3-carbonyl]amino]methyl]phenyl]-2-methylpropionate was suspended in Me3COH.

Aq. NaOH was added to the suspension, and the reaction mixt. was refluxed 1 h to give 2-[4-[[[2-(benzo[1,3]dioxol-5-yloxy)pyridine-3-carbonyl]amino]methyl]phenyl]-2-methylpropionic acid.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:503329 CAPLUS
DOCUMENT NUMBER: 137:68175
TITLE: Texture masked particles coated with a film-forming polymer and an anti-grit agent
INVENTOR(S): Parikh, Narendra; McTeigue, Daniel; Wynn, David W.; Pillai, Ravivaj S.
PATENT ASSIGNEE(S): McNeill-PPC, Inc., USA
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1219291	A1	20020703	EP 2001-310751	20011221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2002119196	A1	20020829	US 2000-745243	20001221
AU 2001097361	A5	20020627	AU 2001-97361	20011221
CN 1366878	A	20020904	CN 2001-145483	20011221
JP 2002272817	A2	20020924	JP 2001-390445	20011221

PRIORITY APPLN. INFO.: US 2000-745243 A 20001221

AB Texture masked particles and chewable tablets made therefrom are disclosed. The texture masked particles are comprised of (i) a core contg. an active ingredient, e.g. and antacid or non-steroidal anti-**inflammatory** agent, (ii) an optional first layer of a taste masking agent that substantially covers the core, and (iii) a texture masking coating layer on the surface of the core comprising a film-forming polymer and an anti-grit agent. A taste masked particles comprise (i) a core contg. an active ingredient, and (ii) a taste masking agent composed of an enteric polymer and an insol. film-forming polymer. The particles may be produced into a tablet form, such as a chewable tablet, that provides for the immediate release of the active ingredient. For example, a texture masking coating soln. was prepd. by dispersing equal amt. of hydroxypropyl Me cellulose and polyethylene glycol 800 together with acesulfame potassium (1% of solids) in a solvent comprising 77% ethanol and 23% water so that the solid materials represented 10% of the finished soln. Then, Et cellulose-encapsulated acetaminophen (1000 g) was sprayed with the texture masking coating soln. prepd. so that the level of the texture masking coating materials was 7% by wt. of the total finished texture masked coated particles. The resulting coated particles had an av. diam. of 380 .mu..

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:100593 CAPLUS
TITLE: Preclinical efficacy and antiallergic profile of **desloratadine**, a potent histamine H1-receptor antagonist
AUTHOR(S): Kreutner, W.; Hey, J. A.; Anthes, J. C.; Barnett, A.
CORPORATE SOURCE: Schering Plough Research Institute, Kenilworth, NJ, 07033-1300, USA

SOURCE: New Trends in Allergy V, [International Symposium], 5th, Davos, Switzerland, Sept. 15-17, 2000 (2002), Meeting Date 2000, 241-251. Editor(s): Ring, Johannes; Behrendt, Heidrun. Springer-Verlag: Berlin, Germany.
CODEN: 69DOXF; ISBN: 3-540-43082-2

DOCUMENT TYPE: Conference

LANGUAGE: English

AB **Desloratadine** is a novel antiallergic therapy for **allergic rhinitis**, chronic idiopathic urticaria and other **allergic** conditions. The studies reported here demonstrate the potent antihistaminic and antiallergic effects as well as the exceptional safety profile of **desloratadine**. In vivo studies of antihistamine activity showed that orally administered **desloratadine** (median ED [ED50] = 0.15 mg/kg) was 2.5 to 4-fold more potent than loratadine in inhibiting histamine-induced lethality in guinea pigs and histamine-induced paw edema in mice. Applied topically into the nose of guinea pigs, **desloratadine** (ED50=0.9 .mu.g) was tenfold more potent than loratadine in blocking histamine-induced increases in nasal microvascular permeability. The antiallergic profile of **desloratadine** has been expanded by two recent studies. In vivo, orally administered **desloratadine** inhibited the increase in airway resistance and decrease in compliance in **allergic** cynomolgus monkeys challenged by inhaling the Ascaris suum antigen. Also, in **allergic** guinea pigs that cough in response to inhaled ovalbumin, **desloratadine** exhibited antitussive activity with an ED50=0.3 mg/kg. The safety of **desloratadine** has been demonstrated by numerous preclin. studies that have focused mainly on examg. potential central nervous system or cardiovascular effects of **desloratadine**. In mice, **desloratadine** produced no behavioral, neurol., or autonomic effects at doses up to 300 mg/kg. Furthermore, **desloratadine** did not protect mice from electroconvulsive shock, acetic-acid-induced writhing, or physostigmine-induced death. It is likely that **desloratadine** does not have access to histamine H1 receptors in the brain that are linked to sedation because the in vivo administration of **desloratadine** to guinea pigs did not interfere with the subsequent binding of 3H-mepyramine to brain H1 receptors in vitro. In cardiovascular studies, **desloratadine** at concns. up to 10 .mu.M did not inhibit the human ether-ago-go (HERG) K+ channel. Furthermore, studies in numerous animal species, including monkeys, indicated that **desloratadine**, even at large doses, did not alter heart rate, blood pressure, or the ECG, including QTc or QRS intervals. In radioligand receptor-binding assays utilizing cloned human H1-receptor expressed in Chinese hamster ovary (CHO) cells, **desloratadine** binding affinity was at least 25 times and up to 200 times more potent than terfenadine, fexofenadine, **cetirizine**, loratadine, ebastine, and mizolastine. Similar results were obtained from Ca2 flux assays in CHO cells. Preclin. studies support clin. data that **desloratadine** is a potent antihistamine with multiple antiallergic effects and an excellent safety profile.

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:652253 CAPLUS

DOCUMENT NUMBER: 137:194885

TITLE: Clinical nasal decongestant activity with oral antihistamines

AUTHOR(S): Howarth, P.

CORPORATE SOURCE: Southampton General Hospital, Southampton, UK

SOURCE: Clinical & Experimental Allergy Reviews (2002), 2(3),

101-106
CODEN: CEARC3; ISSN: 1472-9725
PUBLISHER: Blackwell Science Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. **Allergic rhinitis** is an **inflammatory** condition with increasing prevalence in many developed countries. Although first-generation antihistamines have shown efficacy in the treatment of this disease, they are relatively ineffective for the treatment of nasal blockage. By contrast, studies with newer antihistamines, such as fexofenadine, ceitrizine, mizolastine, **desloratadine**, and azelastine, have shown efficacy in reducing all symptoms of **allergic rhinitis**, including nasal congestion. This paper focuses on the clin. studies that have been carried out with some of the newer antihistamines and discusses the mechanisms by which they may exert their addnl. anti-**allergic** effects.
REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 28 MEDLINE
ACCESSION NUMBER: 2002227433 MEDLINE
DOCUMENT NUMBER: 21961761 PubMed ID: 11964751
TITLE: Are antihistamines useful in managing **asthma**?.
AUTHOR: Wilson Andrew M
CORPORATE SOURCE: Asthma and Allergy Research Group, Department of Clinical Pharmacology and Therapeutics, Ninewells Hospital and Medical School, University of Dundee, Dundee DD1 9SY, Scotland, UK.. a.m.wilson@dundee.ac.uk
SOURCE: Curr Opin Allergy Clin Immunol, (2002 Feb) 2 (1) 53-9.
Ref: 61
Journal code: 100936359. ISSN: 1528-4050.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
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LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200208
ENTRY DATE: Entered STN: 20020420
Last Updated on STN: 20020823
Entered Medline: 20020822
AB There continues to be a great deal of interest in the anti-asthmatic role of antihistamines. Antihistamines have recently been shown to have anti-**inflammatory** properties that are more extensive than simply the blocking of histamine receptors. For example, new evidence suggests that the suppression of cell adhesion molecule expression occurs with these drugs. The anti-**inflammatory** and anti-asthmatic effects of antihistamines have been evaluated in patients with both **allergic asthma** and **rhinitis**, given the established association between **allergic** inflammation of the upper and lower airways, with evidence to suggest that antihistamines have clinically relevant anti-asthmatic properties. As well as conferring benefits in **asthma** symptom control and the measurement of lung function, studies assessing the effect of histamine receptor antagonists on bronchial hyperresponsiveness suggest that there is bronchoprotection during both methacholine and mannitol challenges. Recently, there has also been considerable interest in the effect of combining an antihistamine with a leukotriene receptor antagonist. This combination has an anti-asthmatic effect that is greater than that of either drug given alone and may be comparable to inhaled corticosteroid therapy.

L5 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:108697 CAPLUS
TITLE: Comparative pharmacology of H1 antihistamines: clinical relevance
AUTHOR(S): Simons, F. Estelle R.
CORPORATE SOURCE: Section of Allergy and Clinical Immunology, Department of Pediatrics and Child Health, Faculty of Medicine, University of Manitoba, Winnipeg, MB, Can.
SOURCE: American Journal of Medicine (2002), 113(9A), 38S-46S
CODEN: AJMEAZ; ISSN: 0002-9343
PUBLISHER: Excerpta Medica, Inc.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. H1 antihistamines have similar efficacy in the treatment of **allergic** disorders; however, they differ in terms of their chem. structure, clin. pharmacol., and safety. This review focuses on the clin. pharmacol. (pharmacokinetics and pharmacodynamics) of the newer oral H1 antihistamines (acrivastine, **cetirizine, desloratadine**, ebastine, fexofenadine, levocetirizine, loratadine, and mizolastine). Understanding the pharmacokinetics and pharmacodynamics of these H1 antihistamines provides an objective basis for selection of appropriate dosages and dose intervals. Pharmacokinetic and pharmacodynamic studies provide a rationale for the modified dosage regimens that may be required in special populations, such as the very young, the elderly, those with hepatic or renal dysfunction, or those taking other medications concurrently. Many H1 antihistamines are currently available for use. Clin. pharmacol. studies help physicians to select the best H1 antihistamines for their patients.
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 28 MEDLINE
ACCESSION NUMBER: 2003011184 MEDLINE
DOCUMENT NUMBER: 22405299 PubMed ID: 12517581
TITLE: Comparative pharmacology of H1 antihistamines: clinical relevance.
AUTHOR: Simons F Estelle R
CORPORATE SOURCE: Section of Allergy and Clinical Immunology, Department of Pediatrics and Child Health, Faculty of Medicine, University of Manitoba, Winnipeg, Manitoba, Canada.. Imcniven@hsc.mb.ca
SOURCE: AMERICAN JOURNAL OF MEDICINE, (2002 Dec 16) 113 Suppl 9A 38S-46S. Ref: 28
Journal code: 0267200. ISSN: 0002-9343.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
General Review; (REVIEW)
(REVIEW, TUTORIAL)
LANGUAGE: English
FILE SEGMENT: Abridged Index Medicus Journals; Priority Journals
ENTRY MONTH: 200301
ENTRY DATE: Entered STN: 20030109
Last Updated on STN: 20030202
Entered Medline: 20030131
AB H1 antihistamines have similar efficacy in the treatment of **allergic** disorders; however, they differ in terms of their chemical structure, clinical pharmacology, and safety. This review focuses on the clinical pharmacology (pharmacokinetics and pharmacodynamics) of the newer oral H1 antihistamines (acrivastine, **cetirizine, desloratadine**, ebastine, fexofenadine, levocetirizine, loratadine, and mizolastine). Understanding the pharmacokinetics and pharmacodynamics of these H1 antihistamines provides an objective basis for selection of

appropriate dosages and dose intervals. Pharmacokinetic and pharmacodynamic studies provide a rationale for the modified dosage regimens that may be required in special populations, such as the very young, the elderly, those with hepatic or renal dysfunction, or those taking other medications concurrently. Many H1 antihistamines are currently available for use. Clinical pharmacology studies help physicians to select the best H1 antihistamines for their patients.

L5 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:411483 CAPLUS
DOCUMENT NUMBER: 137:27712
TITLE: Second-generation antihistamines in **asthma** therapy: Is there a protective effect?
AUTHOR(S): Walsh, Garry M.
CORPORATE SOURCE: Department of Medicine & Therapeutics, University of Aberdeen Medical School, Aberdeen, UK
SOURCE: American Journal of Respiratory Medicine (2002), 1(1), 27-34
CODEN: AJRMAG; ISSN: 1175-6365
PUBLISHER: Adis International Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. Second-generation histamine H1 receptor antagonists are recognized as being highly effective treatments for **allergic** -based disease and are among the most frequently prescribed drugs in the world. The newer antihistamines represent a heterogeneous group of compds. with markedly different chem. structures, a spectrum of antihistaminic properties, adverse effects, half-life, tissue distribution, metab. and varying degrees of anti-**inflammatory** effects. Histamine is an important mast cell- and basophil-derived mediator that has been implicated in the pathogenesis of **asthma**, resulting in smooth muscle contraction, mucus hypersecretion, and increased vascular permeability leading to mucosal edema. Antihistamines should never be used as monotherapy for **asthma** but there is evidence that these drugs give a measure of protection in histamine-induced broncho-constriction. Furthermore, several studies have demonstrated that the use of second-generation antihistamines, as adjunct therapy, may benefit those patients whose **allergic asthma** co-exists with **allergic rhinitis**. Indeed, many patients present with both **allergic rhinitis** and **asthma**. The link between the upper and lower respiratory airways is now well established and there is increasing evidence that **allergic rhinitis** is a risk factor for the development of **asthma**. More recently, a no. of novel antihistamines have been developed which are either metabolites of active drugs or enantiomers and there is emerging evidence that at least one of these drugs, **desloratadine**, may give significant symptomatic benefit in some types of **asthma**. It is of interest to note that **cetirizine** provides a primary pharmacol. intervention strategy to prevent the development of **asthma** in specifically-sensitized high risk groups of infants. Moreover, the documented anti-**inflammatory** activities of antihistamines may provide a novel mechanism of action for the therapeutic control of virus-induced **asthma** exacerbations by inhibiting the expression of intercellular adhesion mol.-1 (ICAM-1) by airway epithelial cells. Finally, several well-conducted studies suggest that combination therapy with antihistamines and antileukotrienes may be as effective as corticosteroid use in patients with **allergic asthma** and seasonal **allergic rhinitis**.

REFERENCE COUNT: 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:108694 CAPLUS
TITLE: Treatment of **allergic rhinitis**
AUTHOR(S): Rosenwasser, Lanny J.
CORPORATE SOURCE: National Jewish Medical and Research Center and the University of Colorado Health Science Center, Denver, CO, USA
SOURCE: American Journal of Medicine (2002), 113(9A), 17S-24S
CODEN: AJMEAZ; ISSN: 0002-9343
PUBLISHER: Excerpta Medica, Inc.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. **Allergic rhinitis**, a common and often debilitating disease marked by rhinorrhea, nasal congestion, nasal itching, and sneezing, is on the increase worldwide. Treatment involves allergen avoidance, pharmacotherapy, and, in selected cases, immunotherapy. This overview describes the characteristics, pathogenesis, and diagnosis of **allergic rhinitis**. The major contributing allergens of seasonal and perennial **allergic rhinitis** are identified. Pharmacotherapy is described within the context of treatment guidelines developed by the major **asthma** and allergy professional organizations. Oral H1 antihistamines are first-line therapy for mild-to-moderate **allergic rhinitis**. The newer, nonsedating agents are recommended over first-generation antihistamines. Some of the newer oral antihistamines, such as **cetirizine**, **desloratadine**, and fexofenadine, have been shown to relieve the symptom of nasal congestion. Intranasal steroids are first-line therapy for patients with more severe symptoms.
REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 28 MEDLINE
ACCESSION NUMBER: 2003011181 MEDLINE
DOCUMENT NUMBER: 22405296 PubMed ID: 12517578
TITLE: Treatment of **allergic rhinitis**.
AUTHOR: Rosenwasser Lanny J
CORPORATE SOURCE: National Jewish Medical and Research Center and the University of Colorado Health Science Center, Denver, Colorado 80206, USA.. rosenwasser@njc.org
SOURCE: AMERICAN JOURNAL OF MEDICINE, (2002 Dec 16) 113 Suppl 9A 17S-24S. Ref: 41
Journal code: 0267200. ISSN: 0002-9343.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
General Review; (REVIEW)
(REVIEW, TUTORIAL)
LANGUAGE: English
FILE SEGMENT: Abridged Index Medicus Journals; Priority Journals
ENTRY MONTH: 200301
ENTRY DATE: Entered STN: 20030109
Last Updated on STN: 20030202
Entered Medline: 20030131
AB **Allergic rhinitis**, a common and often debilitating disease marked by rhinorrhea, nasal congestion, nasal itching, and sneezing, is on the increase worldwide. Treatment involves allergen avoidance, pharmacotherapy, and, in selected cases, immunotherapy. This overview describes the characteristics, pathogenesis, and diagnosis of **allergic rhinitis**. The major contributing allergens of seasonal and perennial **allergic rhinitis** are identified. Pharmacotherapy is described within the context of treatment guidelines developed by the major **asthma** and allergy professional organizations. Oral H1 antihistamines are first-line therapy

for mild-to-moderate **allergic rhinitis**. The newer, nonsedating agents are recommended over first-generation antihistamines. Some of the newer oral antihistamines, such as **cetirizine**, **desloratadine**, and fexofenadine, have been shown to relieve the symptom of nasal congestion. Intranasal steroids are first-line therapy for patients with more severe symptoms.

L5 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:659794 CAPLUS
DOCUMENT NUMBER: 137:210641
TITLE: Decongestant activity of **desloratadine** in controlled-allergen-exposure trials
AUTHOR(S): Horak, Friedrich; Stubner, Petra
CORPORATE SOURCE: ENT Clinic, University of Vienna, Vienna, Austria
SOURCE: Clinical Drug Investigation (2002), 22(Suppl. 2), 13-20
CODEN: CDINFR; ISSN: 1173-2563
PUBLISHER: Adis International Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A review. Nasal obstruction, which many patients consider to be the most bothersome symptom of seasonal **allergic rhinitis** (SAR), is generally refractory to oral anti-histamine therapy. Effective resoln. of nasal obstruction assocd. with SAR may help to prevent lower-airway disorders and other adverse sequelae (e.g. otitis media with effusion). **Desloratadine**, a nonsedating antihistamine with marked inhibitory effects on the early- and late-phase **allergic** responses, affords significant relief of sneezing, pruritus and rhinorrhoea, as well as nasal congestion. Using the Vienna Challenge Chamber, a closed system that enables rigorously controlled allergen exposure, we obsd. that a single 5mg dose of **desloratadine** rapidly and markedly reduced postexposure nasal obstruction in a pilot study. Sep., three randomised, double-blind, placebo-controlled trials demonstrated that **desloratadine** significantly reduced nasal blockage, as well as acute SAR symptoms, from baseline as compared with placebo over a 5-h interval in the pollen chamber. The favorable effects of **desloratadine** on early-phase symptoms were consistent with evidence from controlled-allergen-exposure trials involving other antihistamines (**cetirizine**, fexofenadine). However, **desloratadine** also significantly protected against allergen-induced declines in nasal airflow (as assessed by active anterior rhinomanometry) and reduced nasal secretion wts. compared with placebo in a controlled-allergen-exposure paradigm. The consistent decongestant effects of **desloratadine** in pollen-chamber trials were also concordant with data from clin. trials conducted under natural, ambient exposure conditions. Taken together, these findings support the clin. utility of **desloratadine**, a nonsedating, long-acting, high-affinity H1 receptor antagonist with decongestant properties.
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:780657 CAPLUS
DOCUMENT NUMBER: 135:335151
TITLE: Method and compositions for the treatment of **allergic** conditions using PGD2 receptor antagonists
INVENTOR(S): Jones, Thomas R.
PATENT ASSIGNEE(S): Merck Frosst Canada + Co., Can.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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WO 2001078697	A2	20011025	WO 2001-CA491	20010409
WO 2001078697	A3	20020801		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2001051624	A1	20011213	US 2001-818885	20010327
EP 1274457	A2	20030115	EP 2001-923433	20010409

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.:	US 2000-196641P	P	20000412
	WO 2001-CA491	W	20010409

AB A method for the treatment of **allergic** conditions, e.g., **allergic rhinitis**, comprises administering an effective amt. of a prostaglandin D2 (PGD2) receptor antagonist and an effective amt. of at least one other therapeutically active compd. selected from a histamine H1 antagonist and a leukotriene antagonist. The histamine H1 antagonist is selected from loratadine, descarboethoxyloratadine, **cetirizine**, levocetirizine and fexofenadine, while the leukotriene D4 antagonist is selected from zafirlukast, montelukast and pranlukast. The **allergic** condition is **allergic rhinitis**. For example, the synthesis of 2-[(1R)-9-(4-chlorobenzyl)-8-((R)-methylsulfinyl)-2,3,4,9-tetrahydro-1H-carbazol-1-yl]acetic acid and 2-[(1R)-9-(4-chlorobenzyl)-8-((S)-methylsulfinyl)-2,3,4,9-tetrahydro-1H-carbazol-1-yl]acetic acid (I) was described. The single administration of the histamine H1 antagonist mepyramine (5 mg/kg, i.p.) or compd. I (1 mg/kg, i.p.) 60 min prior to ovalbumin nasal antigen challenge in guinea pigs had no significant effect on the increase in intranasal pressure. However, in similar exptl. conditions, the increase in intranasal pressure produced by ovalbumin was significantly blocked by the combination of mepyramine (5 mg/kg, i.p.) and compd. I (0.3 or 1 mg mg/kg, i.p.).

L5 ANSWER 20 OF 28 CAPLUS
ACCESSION NUMBER: 2001:566682 CAPLUS